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NO DRAWINGS

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COMPLETE SPECIFICATION

Improvements in or relating to Film Coated Pharmaceutical Forms and Processes of Coating Same

We, SMITH KLINE & FRENCH LABORATORIES, of 1500 Spring Garden Street, City of Philadelphia, Commonwealth of Pennsylvania, 19101, United States of America, a corporation organized under the laws of the Commonwealth of Pennsylvania, one of the United States of America, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

This invention relates to a novel film coating composition for solid pharmaceutical forms such as compressed tablets, pills, pellets and troches, a pharmaceutical form covered with said coating and a rapid and inexpensive process for coating said forms.

More specifically this invention relates to coated pharmaceutical forms having a novel film coating which has a main ingredient wax-free shellac which has been rendered water soluble by dissolution in aqueous ammonia.

Shellac is well known as a coating material in the art. However, whenever shellac is employed as a coating agent for a pharmaceutical form the prior art reveals that the coated product is either enteric or sustained release in nature. In other words when a tablet is coated with shellac the prior art teaches that the tablet will provide a delayed release of medicament.

Further, shellac has been utilized to cover tablets that have been previously sugar coated in order to facilitate printing or to provide a water or moisture barrier for a tablet core prior to sugar coating. In each instance sugar coating of the tablet is an additional step.

The process of sugar coating tablets embraces the following time consuming coating steps:

a) waterproofing and sealing,
b) subcoating,
c) rounding or smoothing coats,
d) coloring and finishing coats and
e) polishing.

This amounts to approximately 80 total coats and takes days to complete. This total time is required not only because of the number of coats necessary but also because of the drying cycle needed before applying the next coat.

Disadvantages associated with the build up of sugar coating layers are obvious. For example, sugar coating cannot be used for coating scored or grooved tablets and tablets with engraved monograms because the total number of coats required obliterates the groove and monogram. Further, due to the multiple coatings the finished tablet is approximately 50% greater in volume or usually double the weight of the uncoated tablet. A still further drawback is that the tablet must be compressed relatively hard in order to withstand the vigorous rolling and tumbling in the coating pan. This hard compression often results in a delay in disintegration time.

When the novel coating composition is applied to pharmaceutical forms according to the process of this invention it is possible to obtain a fast drying, thin, transparent film coat. Sugar coating with all of its "build up" steps is completely eliminated. The time required to coat the tablets is reduced from days to minutes because of the relatively few coats that are necessary. Therefore, in accordance with this invention it is now possible to coat tablets rapidly and inexpensively. The thin, transparent film allows for the monogramming and scoring of the compressed tablet. Furthermore, the constituents of the film are all materials readily used for human consumption.

More important the tremendous reduction of the number of coats also results in the production of a much smaller finished tablet. This feature allows for a more pharmaceutically elegant tablet which is much easier for the patient to swallow.

It has been unexpectedly discovered that when shellac is rendered water soluble as described hereinafter a superior thin film coating for tablets results. Such shellac no longer delays the release of the tablet but contrary to the prior art teachings results in an immediate release of the tablet. Still further, contrary to prior art teachings the the shellac as treated according to the process of this invention no longer acts as a water or moisture barrier but as a thin water permeable tablet coating.

The coating composition of this invention is comprised of wax-free shellac which has been rendered water soluble by dissolving the shellac in water and ammonia until a solution results. Other ingredients such as plasticizing agents, opaquing agents and coloring materials may be added to the coating solution to enhance the properties of the coating.

Preferably the shellac will be present in an amount of from about 0.1% to about 5% by weight of the total coating composition, advantageously from about 1% to about 3% by weight of the total coating composition. The ratio of water and ammonia to the wax-free shellac would be evident to one skilled in the art, using just enough necessary to dissolve the shellac. An organic solvent is normally added to the above shellac concentrate to hasten the evaporation of the coating.

When plasticizers are advantageously employed in the coating composition they will be present in an amount of from about 0.5% to about 15% by weight of the total coating composition, preferably from about 1% to about 10% by weight of the total coating composition. Among the plasticizing agents which may be employed are any of those well known to the art, such as for example, solid polyethylene glycol, polyvinylpyrrolidone, dibutyl phthalate, dimethyl phthalate, diisobutyl adipate, castor oil, mineral oil, propylene glycol, stearyl alcohol, cetyl alcohol and the glycerides of higher fatty acids.

Most advantageously solid polyethylene glycol and polyvinylpyrrolidone are used either alone or preferably a mixture of both as plasticizers in carrying out the method of this invention. When this novel combination of wax-free shellac, solid polyethylene glycol and polyvinylpyrrolidone is employed it imparts improved flowability and results in a coating composition which is more flexible and smoother. Further, the added ingredient, solid polyethylene glycol also acts as an anti-tacking agent and prevents the sticking of the tablets to one another as they are rotated in the coating pan. Finally, the use of a solid polyethylene glycol, such as for example, polyethylene glycol 6000, adds gloss to the tablet coat and eliminates the necessity of a final separate polish coating.

The polyethylene glycols used in the coating composition of this invention are solid, waxy materials having a molecular weight as high as 20,000. Preferably the polyethylene glycols employed will have a molecular weight of from about 1,000 to about 10,000. These solid polyethylene glycols are well known in the art and sold under the tradename of Carbowax.

When coloring materials are desired, any of the nontoxic pigments, lakes and dyes which have been certified for use in the food, drug and cosmetic industries may be used. The nontoxic coloring agent, when employed will be present in an amount to provide the desired color and shade preferably from about 0.05% to about 1% by weight of the total coating composition. Exemplary of the preferred dyes and lakes are those coal tar colors listed under their Food and Drug Administration designations such as, for example, FD & C blue No. 6, D & C blue No. 9, D & C green No. 6, D & C violet No. 2, D & C red No. 17, D & C orange No. 5, D & C yellow No. 7, D & C green No. 1, FD & C yellow No. 6 lake, FD & C blue No. 2 lake, FD & C red No. 2 lake, FD & C violet No. 1 lake and FD & C green No. 3 lake.

Advantageously the compositions of this invention may also contain a substantially water insoluble, colorless, nontoxic opaque material such as calcium carbonate, barium sulfate or preferably titanium dioxide. The nontoxic opaque constituent when employed will amount to from about 0.25% to about 10% by weight of the coating composition.

The novel coating composition is prepared by first forming the shellac concentrate by dissolving the wax-free shellac and when employed, the plasticizer in water and strong ammonia solution. The shellac concentrate is then diluted with organic solvents, such as for example, alcohol and chloroform containing either the dye, pigment or lake. The coating composition is now ready for use and it may be poured or sprayed on the solid pharmaceutical forms.

The method of coating the solid pharmaceutical forms such as compressed tablets, pills, pellets, and troches in accordance with this invention comprises placing said solid forms, as for example tablets containing a medicament and filler, in a coating pan. The tablets are thoroughly and evenly wetted with the coating solution. The tablets are dried while rotating in the coating pan. Advantageously air is passed over the tablets during the drying process. Further coats are applied

by repeating the above procedure. Normally 10 to 20 coats are sufficient, more can be applied if desired. The coated tablets can be polished or not as desired.

The solid pharmaceutical forms which are also an important aspect of this invention are solid pharmaceutical forms such as tablets, pills, pellets, and troches completely coated with a film forming material containing water soluble shellac as defined above. These forms are comprised of a core containing the medicament normally with a filler surrounded by said film forming material. The thickness of said coatings advantageously is in the range of from about 0.0001" to about 0.002" preferably from about 0.0005" to about .001". Generally it is satisfactory for the coating to be from about 0.3% to about 3% of the total weight of the tablet, preferably from about 0.5% to about 2% and as indicated above it completely covers the core form.

Tablets coated using this procedure and coating composition have a smooth glossy coat. The total thickness of the combined coats is such that the detail of the surface of the core is retained, for instance monograms or scores.

The following examples are used to specifically illustrate the coating composition and the method of this invention.

EXAMPLE 1

Shellac Concentrate—Solution A

Ingredients	Percent W/V
Wax-free shellac	15.34
Polyvinylpyrrolidone	15.34
Carbowax 6000	14.32
Strong ammonia solution 28% (USP)	1.53
Water q.s.	100.00 ml.

The shellac, polyvinylpyrrolidone and carbowax are dissolved in the strong ammonia solution and water with the aid of heat to form a shellac concentrate.

Solution B

Ingredients	Amount
Shellac concentrate	300 ml.
Isopropyl alcohol	4500 ml.
Chloroform	600 ml.
Titanium dioxide	1180 gms
FD & C yellow No. 5 lake	18 gms.

The shellac concentrate, isopropyl alcohol and chloroform are mixed and the titanium dioxide and FD & C yellow No. 5 lake are suspended in the mixture with continued agitation to form the coating composition. Tablet cores of 12/32 inch diameter containing trifluoperazine and filler are placed in a rotating 12 inch coating pan and are thoroughly and evenly wetted by spraying on the above coating composition. The tablets are dried while being rotated and passing air over them. This procedure is followed until approximately 15 coats have been applied leaving a hard thin film coat on the tablets.

EXAMPLE 2

Shellac Concentrate—Solution A

Ingredients	Percent W/V
Wax-free shellac	20.4
Strong ammonia solution 28% (USP)	2.04
Distilled water q.s.	100.00 ml.

The shellac is dissolved in the strong ammonia solution and the water with stirring and the application of heat, approximately heated to 85° C.

Solution B

Ingredients	Amount
Shellac concentrate	100 ml.
Isopropyl alcohol	4500 ml.
Chloroform	600 ml.

The shellac concentrate, isopropyl alcohol and chloroform are thoroughly mixed to form the coating composition.

Tablet cores containing dextroamphetamine and filler are placed in a rotating 12 inch coating pan and are thoroughly and evenly wetted by spraying on the above coating composition. The tablets are dried while being rotated and passing air over them. This procedure is followed until approximately 15 coats have been applied leaving a hard film coat on the tablets.

EXAMPLE 3

Shellac Concentrate—Solution A

Ingredients	Percent W/V
Wax-free shellac	16.0
Carbowax 6000	15.0
Strong ammonia solution 28% (USP)	1.6
Water q.s.	100.0 ml.

The shellac and carbowax are dissolved in the strong ammonia solution and water with the aid of heat to form a shellac concentrate.

Solution B

Ingredients	Amount
Shellac concentrate	200 ml.
Isopropyl alcohol	4500 ml.
Chloroform	600 ml.
FD & C Yellow No. 5	1.8 gms.

The shellac concentrate, chloroform and alcohol which has the FR & C Yellow No. 5 added are thoroughly mixed to form the coating composition.

Tablet cores containing chlorpromazine hydrochloride and filler are placed in a rotating 12 inch coating pan and are thoroughly and evenly wetted by spraying on the above coating composition. The tablets are dried while being rotated and passing air over them. This procedure is followed until approximately 15 coats have been applied leaving a hard thin film coat on the tablets.

WHAT WE CLAIM IS:—

1. A film coated pharmaceutical form com-

prising a solid medicament-containing core completely covered by a film-forming coating material containing wax-free shellac which has been rendered water-soluble by dissolution in aqueous ammonia.

2. A film coated pharmaceutical form as claimed in Claim 1, wherein the film forming coating material contains at least one plasticizer for the wax-free shellac.

3. A film coated pharmaceutical form as claimed in Claim 2, wherein the plasticizer is polyvinylpyrrolidone.

4. A film coated pharmaceutical form as claimed in Claim 2, wherein the plasticizer is solid polyethylene glycol.

5. A film coated pharmaceutical form as claimed in any one of the preceding claims, wherein the solid medicament-containing core is completely covered with from 10 to 20 coats of the film forming coating material.

6. A composition for use in film coating pharmaceutical forms comprising an ammoniacal aqueous solution of wax-free shellac, the solution containing an organic solvent.

7. A composition as claimed in Claim 6, further comprising at least one plasticizer for the wax-free shellac.

8. A composition as claimed in Claim 7, wherein the plasticizer is solid polyethylene glycol and/or polyvinyl pyrrolidone.

9. A method of film coating pharmaceutical forms which comprises applying to said forms a coating composition as claimed in Claim 6, 7 or 8, and then drying said pharmaceutical forms.

10. A film coated pharmaceutical form, substantially as described in any one of the foregoing Examples.

11. A composition for use in film coating pharmaceutical forms, substantially as described in any one of the foregoing Examples.

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